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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS		OCT	0.2	CA/CAplus enhanced with pre-1907 records from Chemisches
MEMO	-	001	02	Zentralblatt
NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS		NOV		Derwent Indian patent publication number format enhanced
NEWS		NOV		WPIX enhanced with XML display format
NEWS		NOV		ICSD reloaded with enhancements
NEWS		DEC		LINPADOCDB now available on STN
NEWS		DEC		BEILSTEIN pricing structure to change
NEWS		DEC		USPATOLD added to additional database clusters
NEWS				IMSDRUGCONF removed from database clusters and STN
NEWS				DGENE now includes more than 10 million sequences
NEWS		DEC		TOXCENTER enhanced with 2008 MeSH vocabulary in
MEND	12	DEC	1	MEDLINE segment
NEWS	13	DEC	17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS				CA/CAplus enhanced with new custom IPC display formats
NEWS				STN Viewer enhanced with full-text patent content
				from USPATOLD
NEWS	16	JAN	0.2	STN pricing information for 2008 now available
NEWS		JAN		CAS patent coverage enhanced to include exemplified
112110	- '	01111		prophetic substances
NEWS	1.8	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new
112110	20	01111	20	custom IPC display formats
NEWS	19	JAN	28	MARPAT searching enhanced
NEWS		JAN		USGENE now provides USPTO sequence data within 3 days
				of publication
NEWS	21	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN	28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB	0.8	STN Express, Version 8.3, now available
NEWS	24	FEB	20	PCI now available as a replacement to DPCI
NEWS	25	FEB	25	IFIREF reloaded with enhancements
NEWS	26	FEB	25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current
				U.S. National Patent Classification
NEWS	28	MAR	31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom
				IPC display formats
NEWS	29	MAR	31	CAS REGISTRY enhanced with additional experimental
				spectra
NEWS	30	MAR	31	CA/CAplus and CASREACT patent number format for U.S.
				applications updated
NEWS	31	MAR	31	LPCI now available as a replacement to LDPCI
NEWS	32	MAR	31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	EXPE	RESS	FEB	RUARY 08 CURRENT WINDOWS VERSION IS V8.3,
			2.270	OURDDAM DIGOCUED DITE TO DIMED OF DEDDUING OFFI

AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 17:34:09 ON 03 APR 2008

=> file reg

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY 0.21

RY SESSION 21 0.21

TOTAL

FILE 'REGISTRY' ENTERED AT 17:34:23 ON 03 APR 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

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DICTIONARY FILE UPDATES: 2 APR 2008 HIGHEST RN 1011757-08-6

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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chain nodes: 7 9 10 11

```
ring nodes:
1 2 3 4 5 6
chain bonds:
1-11 2-7 5-9 6-10
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds:
1-2 1-6 1-11 2-3 2-7 3-4 4-5 5-6 6-10
exact bonds:
5-9 isolated ring systems:
containing 1:
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:Atom

L1 STRUCTURE UPLOADED

Structure attributes must be viewed using STN Express query preparation.

1 ANSWERS

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=> s 11
SAMPLE SEARCH INITIATED 17:34:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 241 TO ITERATE
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100.0% PROCESSED 241 ITERATIONS SEARCH TIME: 00.00.01

DEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 3889 TO 5751
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s 11 full FULL SEARCH INITIATED 17:34:41 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4932 TO ITERATE

100.0% PROCESSED 4932 ITERATIONS 22 ANSWERS

SEARCH TIME: 00.00.01

L3 22 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 ENTRY
 SESSION

 FULL ESTIMATED COST
 178.56
 178.57

FILE 'CAPLUS' ENTERED AT 17:34:45 ON 03 APR 2008
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FILE COVERS 1907 - 3 Apr 2008 VOL 148 ISS 14 FILE LAST UPDATED: 2 Apr 2008 (20080402/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 13 full L4 6 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409526 CAPLUS DOCUMENT NUMBER: 142:463710

TITLE:

Preparation of thieno[2,3-b]pyridinone derivatives as kinase, especially p38 MAP kinase, inhibitors useful in the treatment of and/or prevention of immune or

inflammatory disorders

INVENTOR(S): Alexander, Rikki Peter; Davis, Jeremy Martin;

Hutchings, Martin Clive; Laing, Victoria Elizabeth;

Trevitt, Graham Peter PATENT ASSIGNEE(S): Celltech R & D Limited, UK SOURCE: PCT Int. Appl., 181 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

									APPLICATION NO.										
										WO 2004-GB4490						20041022			
	W:	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	BG, EC, JP,	EE,	EG,	ES,	FI,	GB,	GD,		
		LK,	LR, NZ,	LS, OM,	LT, PG,	LU, PH,	LV, PL,	MA, PT,	MD, RO,	MG, RU,	MK, SC,	MN, SD,	MW, SE,	MX, SG,	MZ, SK,	NA, SL,	NI, SY,		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	UZ, SL, BE,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		EE, SI,	ES,	FI, TR,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU, GA,	MC,	NL,	PL,	PT,	RO,	SE,		
AU	2004				A1		2005	0512		AII 2	004-	2857	52		2	0041	022		
	2540																		
EP	1680	429			A1		2006	0719		EP 2	004-	7690	04		2	0041	022		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	IT, TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
	2007																		
US PRIORIT	2007				A1		2007	0405			:006- :003-								
PRIORII	I APP	LIV.	INFO	. :							003-								
											004-								
											004-								
										WO 2	004-	GB44	90		W 2	0041	022		
OTHER S	OURCE	(S):			MAR	PAT	142:	4637	10										

GT

Ι

AB Title compds. I [wherein R1 = (un)substituted (C3-7 cycloalky)]methyl, hetero(aryl, R2 = H, NO2, CN, CO2H and derivs., NH2 and derivs., etc., R3 = (un)substituted hetero/aryl; and their pharmaceutically acceptable salts] were prepared as p38 MAP kinase inhibitors for treating and/or preventing immune or inflammatory disorders. For example, II was prepared by reacting Et 3-bromo-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given) with 3-methylbenzaldehyde and oxidation with

MnO2.

RN

- I are potent inhibitors of p38 MAP kinase (IC50 around 2 μM and below), especially p38 α kinase.
- IIT 639481-32-6P 817177-50-7P 851748-67-9P
 851749-68-3P, Sodium 3-cyanon-1(2,6-diffluorophenyl)-6-oxo-1,6-dihvdropvridine-2-thiolate 851750-09-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of thienopyridinones as p38 MAP kinase inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders)

639481-32-6 CAPLUS

CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-6-oxo-1-phenyl-, sodium salt (9CI) (CA INDEX NAME)

Na

- RN 817177-50-7 CAPLUS
- CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-1-(4-methylphenyl)-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

- Na
- RN 851748-67-9 CAPLUS

- Na
- RN 851749-68-3 CAPLUS
- CN 3-Pyridinecarbonitrile, 1-(2,6-difluorophenyl)-1,6-dihydro-2-mercapto-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

- Na
- RN 851750-09-9 CAPLUS
- CN 3-Pyridinecarbonitrile, 1-(4-fluorophenyl)-1,6-dihydro-2-mercapto-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

■ 37.

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154722 CAPLUS

DOCUMENT NUMBER: 142:93797

TITLE: Process for preparing 3-aminothienopyridone

derivatives and their applications to the synthesis of

p38 MAP kinase inhibitors

INVENTOR(S): Evans, Graham Robert; Smith, Ian Harold; Tremayne,

Neil; Jones, Leighton; Langston, Marianne

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

OTHER SOURCE(S): MARPAT 142:93797

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
WO	2004	1133	49		A1		2004	1229							2	0040	618	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	ΝA,	NI,	
							PL,											
							TZ,											
	RW:						MW,											
							RU,											
							GR,											
					BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
			TD,												_			
									AU 2004-249507 CA 2004-2528927									
CA	2528	927			A1		2004	1229		CA 2	004-	2528	927		2	0040	618	
EP	1638	980			A1		2006	0329	EP 2004-743031					20040618				
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
JP	2007	5161	63		T		2007	0621		JP 2	006-	5164	65		2	0040	618	
US	2007	0191	608		A1		2007	0816		US 2	006-	5610	51		2	0060	608	
PRIORITY	IORITY APPLN. INFO.:			. :					GB 2003-14493				3	A 20030620				
									GB 2003-29471					A 20031219				
										WO 2	004-	GB26	80		W 2	0040	618	

AB This invention provides a class of 3-amino-7H-thieno[2,3-b]pvridin-6-one derivs. I [wherein R = cyano, NO2, CO2Alk2, C(O)alkyl, CONHHet2; Alk2 = (un) substituted alkyl or aryl; Het2 = (un) substituted 4/5/6-membered heterocycloalkyl; R1 = (un)substituted (hetero)aryl or (hetero)cycloalkyl; R2, R3 = H or a hydrogen atom precursor, or salts, solvates, hydrates, protected derivs. and N-oxides thereof], a process for their prepns., and the use thereof as intermediates in the manufacture of certain p38 MAP kinase inhibitors. For example, 2-cyano-N-phenylthioacetamide was treated with N,N-dimethyluracil to give crude thiolate II containing about 20% ethanol, which was directly refluxed with chloroacetonitrile in acetonitrile for 2 h to afford amine III. This compound underwent diazotization and subsequent halide displacement with tert-butylnitrite and CuBr2, leading to bromide IV. Pd-catalyzed N-alkylation of III with bromobenzene or amination of IV with aniline yielded V. Conversion of this product to the corresponding carboxamide was realized by the hydrolysis of the cyano group in the presence of NaOH-H2O-Ethanol system.

v

IT 639481-32-6F, 3-Cyano-6-oxo-1-phenyl-1,6-dihydropyridine-2-thiol sodium salt 639481-41-PP, 3-Cyano-1-cyclopropyl-6-oxo-1,6- dihydropyridine-2-thiol sodium salt 817177-48-3P, 1-(2-Chlorophenyl)-3-cyano-6-oxo-1,6-dihydropyridine-2-thiol sodium salt 817177-49-3P, 3-Cyano-1-(2-methylphenyl)-6-oxo-1,6-dihydropyridine-2-thiol sodium salt 817177-50-7P, 3-Cyano-1-(4-methylphenyl)-6- oxo-1,6-dihydropyridine-2-thiol sodium salt 817177-50-7P, 3-Cyano-1-(4-methylphenyl)-6- oxo-1,6-dihydropyridine-2-thiol sodium salt 817177-52-PP, 6-Oxo-2-([2-oxo-2-(pyrrolidin-1-yl)ethyl]sulfanyl]-1-phenyl-1,6-dihydropyridine-3-carbonitrile
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); RRPT (Reparation); RACT (Reactant or reagent)
(process for preparing 3-aminothienopyridone derivs. and their applications to the synthesis of p38 MAP kinase inhibitors)

RN 639481-32-6 CAPLUS
S-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-6-oxo-1-phenyl-, sodium salt (9C1) (CA INDEX NAME)

Na

RN 639481-41-7 CAPLUS

N 3-Pyridinecarbonitrile, 1-cyclopropyl-1,6-dihydro-2-mercapto-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 817177-48-3 CAPLUS

CN 3-Pyridinecarbonitrile, 1-(2-chlorophenyl)-1,6-dihydro-2-mercapto-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 817177-49-4 CAPLUS

CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-1-(2-methylphenyl)-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

- 817177-50-7 CAPLUS
- 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-1-(4-methylphenyl)-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

Na

- RN 817177-52-9 CAPLUS CN Pyrrolidine, 1-[[(3-cyano-1,6-dihydro-6-oxo-1-phenyl-2pyridinyl)thiolacetyl - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154721 CAPLUS

DOCUMENT NUMBER: 142:93796

TITLE: Preparation of thienopyridone derivatives as p38 MAPK inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin;

Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KIN		DATE		APPLICATION NO.									
	2004																	
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
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									AU 2004-249498									
CA	2528	603			A1		2004	1229		CA 2	004-	2528	603		2	0040	618	
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	R:						ES,											
							RO,											
	2007																	
US	2006	0247	269		A1													
PRIORIT	Y APP	LN.	INFO	.:							003-							
											003-					0031		
										WO 2	004-	GB26	44		W 2	0040	618	
OTHER S	OTHER SOURCE(S):					PAT	142:	93796	6									

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [wherein X = covalent bond, NH or N(alkvl); Y = C(0) or S(0)2; A = (CH2)q; B = (CH2)m; n = 0 or 1; m = 1-3; p = 0-4; q = 0-2; R = 0(un) substituted OH, alkoxy or amino; L = O, S, S(O), S(O)2 or CH2, CHR or CR2, NH or N(alkyl); ALK1 = alkylene; Cy1 = (un)substituted (hetero)cycle or (hetero)aryl; Ar = (un)substituted (hetero)aryl; or salts, solvates, hydrates and N-oxides thereof] were prepared as p38 MAPK inhibitors. For example, II was synthesized in several steps from Et 3-bromo-6-oxo-7phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given), via amination with 2,4-diffluoroaniline, ester hydrolysis, carboxy group activation with pentafluorophenol and coupling with cis-2aminocyclopentanol hydrochloride. Example compds. had IC50 values of around 1 μM and below for human p38α kinase. Therefore, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of immune or inflammatory disorders. IT 639481-32-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienopyridone derivs. as p38 MAPK inhibitors)

RN 639481-32-6 CAPLUS

CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-6-oxo-1-phenyl-, sodium salt (9CI) (CA INDEX NAME)

Na

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:143162 CAPLUS

DOCUMENT NUMBER: 140:181432

TITLE: Preparation of bicyclic heteroaromatic compounds as

p38 kinase inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin;

Langham, Barry John
PATENT ASSIGNEE(S): Celltech R & D Limited, UK

PATENT ASSIGNEE(S): Celltech R & D Limited, SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	ENT 1				KIND DATE				APPLICATION NO.									
											2003-					0030	811	
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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		KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
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	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
											, TR,							
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US	20060	0025	428		A1		2006	0202			2005-							
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										WO :	2003-	GB35	01		W 2	0030	811	
OTHER SO	URCE	(S):			MAR	PAT	140:	1814	32									

AB Title compds. I [A = N, (un)substituted CH, dashed line is a double bond; A = (un)substituted NH, CH2, dashed line is a single bond; X = O, S, (un)substituted NH, S(O), SO2; Y = N, (un)substituted CH; Alk = (un)substituted aliphatic, heteroaliph.; n = 0, 1; Ar = (un)substituted aromatic, heteroarom.; L = atom, alkylene, heteroalkylene; L1 = bond, linker atom, linker group; Cy = H, (un)substituted cycloaliph, polycycloaliph., heterocyclic, polyheterocyclic, aromatic, heteroarom.; R = H, CN, (un)substituted alkyl, CO2H, CONH2], especially 6-oxo-6, 7-dihydrothieno[2,3-

b]pyridine derivs., which are inhibitors of p38 kinase of use in the treatment and/or prevention of immune or inflammatory disorders (no data) were prepared Thus, II [R1 = NHCH2Ph, r2 = Ph] was prepared from 2-chloronicotinonitrile and HSCH2CO2Et via II [R1 = Br, R2 = H] by treatment with PhB(OH)2 and PhCH2NH2.

II 639481-32-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of bicyclic heteroarom. compds. as p38 kinase inhibitors)

CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-6-oxo-1-phenyl-, sodium salt (9CI) (CA INDEX NAME)

Na

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:2888 CAPLUS

DOCUMENT NUMBER: 140:59658

TITLE: Preparation of arylamine substituted bicyclic

hetero-aromatic compounds as p38 kinase inhibitors INVENTOR(S): Brookings, Daniel Christopher; Davis, Jeremy Martin;

Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

					KIND DATE			APPLICATION NO.									
											2003-					0030	620
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	3, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NI,	NO,	ΝZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE	, SG,	SK,	SL,	ТJ,	TM,	TN,	TR,
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CN	1671	715			A		2005	0921		CN	2003- 2004- 2003-	8183	71		2	0030	620
JP	2005	5308	38		T		2005	1013		JΡ	2004-	5150	43		2	0030	620
NZ	5377	40			A		2006	0331		NZ	2003-	5377	40		2	0030	620
MX	2004	PA12	746		A		2005	0323		MX	2004-	PA12	746		2	0041	215
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PRIORIT:	Y APP	LN.	INFO	. :							2002-						
										WO	2003-	GB26	67	1	<i>i</i> i 2	0030	620
OTHER SO	OTHER SOURCE(S):					PAT	140:	59651	8								

AB Bicyclic heteroarom. derivs. I; where the dashed line joining A and C(Ra) is present and represents a bond and A is a -N= atom or a -C(Rb)= group, or the dashed line is absent and A is a -N(Rb)-, or -C(Rb)(Rc)- group; X is an -O-, -S- or substituted nitrogen atom or a -S(O)-, -S(O2)- or -MH-

group; Y is a nitrogen or substituted carbon atom or a -CH = group; n is zero or the integer 1; AlkI is an optionally substituted aliphatic or hetero-aliphatic chain L1 is a covalent bond or a linker atom or group; CyI is a hydrogen atom or an optionally substituted cyclo-aliphatic, poly-cyclo-aliphatic, hetero-cyclo-aliphatic, poly-hetero-cyclo-aliphatic, aromatic or

hetero-aromatic group; Ar is an optionally substituted aromatic or heteroarom. group; and the remaining substituents are defined in the specification. The compds. are potent and selective inhibitors of p38 kinase and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, 3-[(2,4-difluorophenyl)] amino]-6-0xo-7-phenyl-N-pyrrolidin-3-yl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxamide was prepared as as p38 kinase inhibitor. In the p38 inhibitor assays described above compds. of the invention have IC50 values of around 1 µM and below. The compds. of the invention are clearly potent inhibitors of p38 kinase, especially p38α kinase.

IT 639481-32-6P 639481-37-1P 639481-41-7P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RRCT (Reactant or reagent) (preparation of arylamine substituted bicyclic hetero-aromatic compds. as p38

kinase inhibitors)

RN 639481-32-6 CAPLUS

CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-6-oxo-1-phenyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 639481-37-1 CAPLUS

CN 3-Pyridinecarbonitrile, 1,6-dihydro-2-mercapto-4-(4-methylphenyl)-6-oxo-1phenyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 639481-41-7 CAPLUS

CN 3-Pyridinecarbonitrile, 1-cyclopropyl-1,6-dihydro-2-mercapto-6-oxo-, sodium salt (9CI) (CA INDEX NAME)

Na

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:904617 CAPLUS

DOCUMENT NUMBER: 124:117222

TITLE: Studies on azinethiones: a novel synthesis of bis(azinyl) trithiocarbonates and multi-fused

thienoazines

AUTHOR(S): Erian, Ayman W.; Sherif, Sherif M.

CORPORATE SOURCE: Dep. of Chemistry, Cairo University, Giza, Egypt

SOURCE: Heterocycles (1995), 41(10), 2195-202 CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:117222

AB A study of the reactivity of azinethione series toward carbon disulfide has been carried out which resulted in a synthesis of bis(azinyl)-

trithiocarbonates. Reaction of 4-methylazinethiones with

N-bromosuccinimide affords in one pot reaction unexpected multifused

heterocyclic compds. E.g., reaction of 2,4-dimethyl-5-cyano-6-

pyridinethione with N-bromosuccinimide gave 64% 5-amino-3,4-dihydro-2,7,9-

trimethylthieno[2,3-b]pyrido[2',3':3,2]-2,7-naphthyridine-4-thione.
IT 172951-13-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of bis(azinyl) trithiocarbonates and multi-fused thienoazines)

RN 172951-13-2 CAPLUS

CN 3,5-Pyridinedicarbonitrile, 1,2-dihydro-6-mercapto-4-methyl-2-oxo-1-phenyl-(CA INDEX NAME)

IT 172951-14-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of bis(azinyl) trithiocarbonates and multi-fused thienoazines)

RN 172951-14-3 CAPLUS

CN Carbonotrithioic acid, bis(3,5-dicyano-1,6-dihydro-4-methyl-6-oxo-1-phenyl-2-pyridinyl) ester (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	37.02	215.59
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY -4.80	TOTAL SESSION -4.8
CA SUBSCRIBER PRICE	-4.80	-4.8

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